

(19)



Eur päisches Patentamt  
European Patent Office  
Office européen des brevets

(11) Publication number:

**0 058 000**  
**A2**

(12)

# EUROPEAN PATENT APPLICATION

(21) Application number: 82300336.3

(51) Int. Cl.<sup>3</sup>: A 61 K 7/00

(22) Date of filing: 22.01.82

(30) Priority: 26.01.81 IT 6709781

(43) Date of publication of application:  
18.08.82 Bulletin 82/33(84) Designated Contracting States:  
AT BE CH DE FR GB IT LI NL SE(71) Applicant: UNILEVER PLC  
Unilever House Blackfriars P O Box 68  
London EC4P 4BQ(GB)(84) Designated Contracting States:  
GB(71) Applicant: UNILEVER NV  
Burgemeester s'Jacobplein 1  
NL-3000 DK Rotterdam(NL)(84) Designated Contracting States:  
BE CH DE FR IT LI NL SE AT(72) Inventor: Caserio, Domenico  
Via Astico 13  
I-20131 Milano(IT)(72) Inventor: Mignini, Elio  
Via Gabbro 19  
I-20161 Milano(IT)(72) Inventor: Davot, Micheline Marie Jeanne  
54 rue St Georges  
F-75009 Paris(FR)(74) Representative: Tonge, Robert James et al,  
Unilever PLC, Patent Division PO Box 31 Salisbury  
Square House Salisbury Square  
London EC4P 4AN(GB)

(54) Cosmetic composition.

(57) A cosmetic composition which is suitable for application to the skin or hair as a powdered product to remove sebum and/or perspiration comprises a cholanic acid derivative and a powder absorbent. Optionally, water and/or other liquid carriers can be incorporated in the composition if it is in the form of a cream, lotion or other liquid or semi-solid product.

EP 0 058 000 A2

- 1 -

J.744

COSMETIC COMPOSITION

The invention relates to cosmetic compositions for topical application to the skin or hair.

Normal healthy human skin secretes a natural lubricant known as sebum, which usually serves to keep the skin  
5 surface soft, pliable, conditioned and, to some extent, protected.

Sebum, a complex mixture of lipid substances, is secreted from sebaceous glands associated with hair follicles over most of the body surface, in particular the  
10 scalp, face, upper chest and shoulders.

Normal healthy human skin also secretes sweat from eccrine and apocrine glands. Eccrine sweat is associated with both the control of body temperature and the excretion of waste products: it consists mainly of water but  
15 contains also inorganic and organic components, notably sodium chloride and lactic acid. Apocrine sweat, in

F12113

addition to water and sodium chloride, also contains odour producing proteins, lipo proteins and lipids.

Whereas the secretion at the skin surface of sebum and sweat represents a normal and necessary bodily function, excessive production of these secretions can result in a film on the skin surface which is oily or greasy in nature and which can be disliked to the extent that the human subject will go to considerable trouble to remove it, for example by tissue wiping, by excessive washing or by application of make-up, so as to block skin pores from which sebum and sweat are released onto the skin surface.

The removal from or control of lipids on the skin to provide a proper balance whereby the skin remains supple and protected without being excessively greasy has accordingly presented a problem to the cosmetician, and hitherto it has not been possible to strike the proper balance by the simple application of a topical product.

It has been proposed in US Patent Specification 3 860 712 to treat the conditions of acne or seborrhea with a composition comprising urea and an unconjugated bile acid in alcohol media, the composition also optionally containing an antibacterial or lipase inhibitory substance.

It has also been proposed in US Patent Specification 4 017 603 to provide a water-soluble pharmaceutical complex for the treatment of systemic mycotic infections comprising antibiotic partricin and/or an alkyl ester of partricin, together with sodium desoxycholate and/or sodium dehydrocholate.

Although compositions based on either of these prior proposals may be effective in treating acne, seborrhea or mycotic infections, neither is suitable as a cosmetic product for the control of excessive secretions at the skin surface of both sebum and sweat.

It has however now been discovered that by topical application of a special derivative of cholanic acid, together with an absorbent substance, a proper lipid and

moisture balance at the skin surface can be achieved, and that the result is relatively long-lasting. In particular, by application of this special derivative and the absorbent once daily to excessively greasy and perspiring skin,

5 surplus lipid material and moisture can be removed without totally defatting or over-drying the skin, so that the skin retains its supple nature for which the natural skin lipids are responsible.

Accordingly, the invention provides a cosmetic  
10 composition for topical application to the skin or hair which comprises:

(i) from 0.1 to 20% by weight of a cholanic acid derivative chosen from an hydroxy cholanic acid, an amino acid condensation product with an  
15 hydroxy cholanic acid, a salt thereof or mixtures thereof, and

(ii) from 5 to 99.9% by weight of a powder absorbent.

When the cholanic acid derivative is an hydroxy cholanic acid, it is preferably lithocholic acid, desoxy-  
20 cholic acid or cholic acid. Condensation products of these acids with amino acids, such as glycocholic acid and taurocholic acid can also be employed.

When the cholanic acid derivative is a salt of an hydroxycholanic acid, the preferred salt is an alkali metal  
25 salt of any of the above acids. The preferred salt is a sodium salt. Most preferably, the salt to be employed is sodium desoxycholate.

It is possible to employ in the composition of the invention mixtures of cholanic acid derivatives, as herein  
30 defined.

The cholanic acid derivative should be present in the composition according to the invention in an amount which forms from 0.1 to 20%, preferably from 1 to 10%, most preferably from 2 to 8% by weight of the composition.

35 It should be explained that use of less than 0.1% by weight of the cholanic acid derivative in the composition

will not enable the user to obtain any noticeable benefit in terms of removing sebum from the skin, whereas use of more than 10% by weight of the cholanic acid derivative in a liquid or semi-solid product of the invention may result in excessive removal of natural lipids from the skin surface, with the result that the skin may become excessively dry. Solid products of the invention such as powders and sticks can contain up to 20% by weight of the cholanic acid derivative and are unlikely to result in excessive removal of natural skin lipids unless this figure is exceeded.

The powder absorbent is a powdered substance which will function, when applied to the skin, in particular of the face, in absorbing excess moisture derived from sebaceous secretions and perspiration.

The combined effect of the cholanic acid derivative in complexing some of the lipid material derived from sebaceous secretions and the powder absorber in absorbing also moisture derived from sebaceous secretions and perspiration, is to achieve the desired proper balance of supple, protected skin, which is not excessively greasy nor excessively moist.

The powder absorbent should have the ability of absorbing at least twice its weight of oil or at least its own weight of moisture. Preferably, the powder absorbent possesses both of these properties.

The capability of a powder absorber to absorb a sufficient amount of oil and/or a sufficient amount of moisture can be determined, with suitable adaptations, by the method described in German Patent Application No 2 702 781.

Although the average particle size of the powder absorbent is usually not critical with respect to its ability to adhere to the skin or to absorb moisture at the skin surface, it is preferred that the average particle size does not exceed 100  $\mu$ . Most preferably the average

particle size is not greater than 60  $\mu$  and ideally it is not greater than 30  $\mu$ .

Examples of suitable powder absorbents showing their respective abilities to absorb oil or water are given in the table below.

	<u>Powder Absorbent</u>	<u>Oil take-up</u>	<u>Water take-up</u>
		<u>ml/g of</u> <u>substance</u>	<u>ml/g of</u> <u>substance</u>
	Rigid urea-formaldehyde		
10	foam (ORACID)	11.11	16.6
	Silica aerogel (AEROSIL)	6.0	8.7
	Magnesium carbonate	5.4	4.03
	Magnesium oxide	3.3	2.6
	Kieselguhr	2.8	3.2
15	Kaolin	2.7	1.5
	Talc	2.5	1.4
	Starch (rice)	2.1	0.75
	Titanium oxide	-	2.3
	Zinc oxide	-	1.1

Examples of other powder absorbents, each of which at least has the capacity to absorb an amount of moisture at least equal to its own respective weight (i.e. having a water take-up value of at least 1), include smectites, dry protein powders and anionic polyelectrolyte absorbent materials.

Examples of smectites, which are swelling clay minerals capable of taking up water or organic liquids between their layers, are montmorillonite, beidellite, nontronite, saponite, sauconite and hectorite. A preferred example of a montmorillonite is BENTONITE.

A preferred example of a dry protein powder is dry collagen powder.

Examples of anionic polyelectrolyte absorbent materials include a cross-linked etherified starch as described in German Application Specification No 2,702,781 or US Patent Specification No 4,117,222;

a cross-linked sodium carboxymethyl cellulose as described in US Patent Specification No 3,589,364, 3,936,441 or 3,965,091; an internally esterified polyelectrolyte as described in US Patent No 3,678,031; or a starch-  
5 acrylonitrile graft copolymer as described in US Patent 3,997,484 or 3,661,814; or a polyacrylate cross-linked with a polyamide/epichlorhydrin material as described in German Patent Application No 2,614,662; or a potassium  
10 salt of a polyacrylic acid cross-linked by aluminium ions as described in US Patent No 4,090,013.

The powder absorbent should be present in the composition according to the invention in an amount which forms from 5 to 99.9%, preferably from 10 to 80% by weight of the composition.

15 It should be explained that use of less than 5% by weight of the powder absorbent in the composition will not enable the user to obtain any noticeable benefit, whereas use of more than 99.9% by weight of the powder absorbent can result in an unsatisfactory product which will leave  
20 greasy or moist skin caked with excess powder.

The composition of the invention can also optionally comprise up to 94.9%, preferably from 10 to 90% by weight of water which will normally form a solvent for the cholanic acid derivative.

25 The composition of the invention can also optionally comprise a cosmetically acceptable carrier other than water, which is intended to contribute to the uniform distribution of the cholanic acid derivative when the composition is applied topically to the skin, and in this  
30 way can complement the function of water, when present, in the composition.

The cosmetically acceptable carrier can include emollients, propellants, organic solvents, humectants and thickeners.

35 The quantity of carrier optionally employed can constitute the balance of the product, or a smaller

proportion than the balance, provided that the carrier is capable of performing its function as herein defined.

In general, it can be stated that the carrier when present will form from 0.1 to 90% by weight of the  
5 composition.

The compositions according to the invention can contain ingredients other than those already mentioned, depending on the form of the intended product. It is, for example, possible to include moisturisers, antiseptics,  
10 preservatives, antioxidants, anti-caking agents, emulsifiers, perfumes, colouring agents and detergents.  
Cosmetically and Pharmaceutically Active Ingredients

The composition according to the invention can also be employed as a vehicle for a wide variety of cosmetically or  
15 pharmaceutically active ingredients, particularly ingredients which have some beneficial effect when applied to the skin or hair.

The composition thus provides a means whereby such active ingredients can be diluted, dispersed, conveyed to  
20 and distributed on the skin surface at an appropriate concentration.

Especially preferred examples of active ingredients include moisturisers such as: sodium pyrrolidone  
carboxylate, sodium lactate, lactic acid, triethanolamine  
25 lactate and sodium chloride, and anti-acne agents such as ethyl lactate.

Examples of other active ingredients that can also be employed include sunscreen agents, germicides, deodorants, antiperspirants, healing agents.

#### 30 Preparation of the Composition

The invention also provides a process for the preparation of a cosmetic composition for topical application to skin or hair which comprises blending a water-soluble cholanic acid derivative, as herein defined,  
35 with a powder absorbent, the cholanic acid derivative forming from 0.1 to 20% by weight of the composition. When



water is to be incorporated in the composition, it is preferred first to form a solution of the water-soluble cholanic acid derivative in water, and then to blend this solution with the powder absorbent, optionally together  
5 with a cosmetically acceptable carrier other than water, to form a liquid composition.

#### Product Forms

The compositions of the invention can be formulated as liquid, for example as a lotion for use in conjunction with  
10 an applicator such as a roll-ball applicator, or a spray device such as an aerosol can containing propellant, or a container fitted with a pump to dispense the liquid product. Alternatively, the compositions of the invention can be solid or semi-solid, for example powders, moulded  
15 sticks, creams or gels, or powder shampoos or hair conditioners, for use in conjunction with an applicator such as a powder sifter or a stick applicator, or simply a tube, bottle or lidded jar.

The invention accordingly also provides a closed  
20 container containing a cosmetic composition as herein defined.

#### Use of Compositions of the Invention

Compositions of the invention are intended especially for topical application to human skin, in particular when  
25 the skin surface or the hair has become excessively moist and/or greasy due to an accumulation of sebum and/or sweat.

Topical application of the composition will accordingly reduce or remove altogether the superficial  
30 'grease' and/or moisture without unduly defatting the skin. The skin will remain in a healthy pliable state, usually for several hours, and will not become excessively dry.

#### Evidence to Support the Effectiveness of Compositions of the Invention

35 A panel test involving the topical application of an 'oil-free cream' containing sodium desoxycholate, talc and

kaolin to the foreheads of volunteer subjects was conducted under the supervision of a dermatologist. The cream was applied to the subjects according to a statistically designed experiment.

- 5 In order to ensure uniformity of application, the 'cream' was applied by a technician.

The 'oil-free cream' had the following formulation:

	<u>% w/w</u>
<u>Cholanic acid derivative</u>	
10 Sodium desoxycholate	2
<u>Powder absorbers</u>	
Kaolin	2
Talcum	11
<u>Other ingredients</u>	
15 Magnesium silico aluminate	4
Propylene glycol	11
Preservative	0.3
Colour	0.5
Sodium lauroyl lactylate	2
20 Glyceryl monostearate	3
Fatty acid esters	4
Perfume	0.3
Water	<u>59.9</u>
	100

- 25 The cream was applied to one side of the forehead of each subject, the other side remaining untreated for purposes of comparison and after 2 hours, the skin surface was examined visually by trained assessors for skin greasiness and also assessed objectively by photographing
- 30 the skin surface, by measuring its brilliance under normal and specular reflected light and by sampling the skin surface lipids. The results recorded were as follows:

1. Visual scoring of skin greasiness

The mean scores were as follows:

	<u>Assessor No 1</u>		<u>Assessor No 2</u>	
	<u>Treated</u>	<u>Untreated</u>	<u>Treated</u>	<u>Untreated</u>
	<u>Site</u>	<u>Site</u>	<u>Site</u>	<u>Site</u>
Oil-free cream	0.37	2.63	0.47	2.74

It will be noted that application of the oil-free cream (i.e. treated site) dramatically reduced the visual appearance of greasiness, compared with the area of forehead which did not receive cream treatment (i.e. untreated site).

2. Photographic Assessment

The mean scores based on examination of photographs were as follows:

	<u>Treated</u>	<u>Untreated</u>
	<u>Site</u>	<u>Site</u>
Oil-free cream	0.55	3.13

The photographic results agree closely with the visual scoring carried out by two assessors.

3. Brilliancy Measurements (shine)

The mean scores were:

	<u>Treated</u>	<u>Untreated</u>
	<u>Site</u>	<u>Site</u>
Oil-free cream	2.34	3.02

An analysis of variance of these results showed that there is a significant difference ( $p = 0.001$ ) between the brilliancy measurement of the treated and the untreated sites.

4. Total lipid analysis

Skin lipids were analysed following application of the oil-free cream by IR Spectography.

The mean scores expressed in arbitrary units were as follows:

	<u>Treated Site</u>	<u>Untreated Site</u>
	184	531

Statistical analysis of these results showed that there was a significant difference ( $p = 0.001$ ) in total lipids between treated and untreated sites.

### Conclusion

5 It can be concluded from the above results that the product containing sodium desoxycholate, talc and kaolin ('oil-free cream') is very effective in reducing skin greasiness and superficial shine.

10 The invention is also illustrated by the following further Examples.

### Example 1

This example illustrates a powdered composition according to the invention. The cosmetic powder had the following formulation:

15		<u>% w/w</u>
	<u>Cholanic acid derivatives</u>	
	Sodium desoxycholate	3
	Sodium cholate	2
	<u>Powdered absorber</u>	
20	Dry collagen powder	80
	<u>Other Ingredients</u>	
	Perfume, colour	10
	Anticaking agent	5

### Example 2

25 This example illustrates a powdered composition according to the invention. The cosmetic powder had the following formulation:

	<u>% w/w</u>
<u>Cholanic acid derivative</u>	2
Lithocholic acid	
<u>Powdered absorber</u>	20
5 <u>Water-absorbent polymer*</u>	
<u>Other ingredients</u>	68
Chalk	5
Perfume, colour	5
Anticaking agent	

10      \* The polymer was that available commercially under the name PERMASORB from National Starch Corporation. It is a potassium salt of a polyacrylic acid cross-linked by aluminium ions and is generally described in US Patent Specification No 4,090,013.

15 Example 3  
This example illustrates a make-up powder and its preparation.

A water phase was prepared by mixing together the following ingredients:

	<u>% w/w</u>
20	3
Urea	20
Water	77
Methanol	

25 An oil phase was similarly prepared by mixing together the following ingredients.

	<u>% w/w</u>
	60
Mineral oil	20
✓ Decyl oleate	5
Myristyl alcohol	3
30 Isostearic acid	4
Isopropyl palmitate	8
Olive oil	

To 100 parts of the water phase was added 9 parts by weight of the oil phase at a temperature of 10°C to form a  
35 white cream base. Further ingredients were then added and

		<u>% w/w</u>
	<u>Cream base</u>	73
5	<u>Cholanic acid derivative</u>	
	Sodium glycocholate	7
	<u>Powdered absorbers</u>	
	Talc	10
	Kaolin	2.5
10	<u>Other ingredients</u>	
	Zinc oxide	2.5
	Titanium dioxide	3
	Burnt Sienna	2

15        This example illustrates an aerosol lotion.  
          The following ingredients were employed to form the  
          aerosol lotion.

		<u>% w/w</u>
	<u>Cholanic acid derivative</u>	
20	Sodium desoxycholate	2.0
	<u>Powdered absorber</u>	
	Silica aerogel (AEROSIL)	4.0
	<u>Other ingredients</u>	
	Polyethylene glycol 1000 monostearate	2.4
25	Lanolin alcohols	1.0
	Linear alcohol lactate	2.0
	Myristyl myristate	1.5
	Cationic detergent	2.0
	Water	61.1
30	Alcohol	12.0
	Propellant 12/114 (40:60)	12.0

This example illustrates the formulation of an all-purpose mask.

35       The following ingredients were blended to form an all-purpose mask.

		<u>% w/w</u>
	<u>Cholanic acid derivative</u>	
	Taurocholic acid	5.0
	<u>Powdered absorbents</u>	
5	Kaolin	35.0
	Bentonite	5.0
	<u>Other ingredients</u>	
	Cetyl alcohol	2.0
	Sodium lauryl sulphate	1.0
10	Glycerin	10.0
	Nipagin M	0.1
	Perfume	q.s.
	Water	41.9

Example 6

- 15 This example illustrates a cosmetic stick which has the following formulation:

		<u>% w/w</u>
	<u>Cholanic acid derivative</u>	
	Desoxycholic acid	15
20	<u>Powder absorbents</u>	
	PERMASORB*	10
	<u>Other ingredients</u>	
	Vaseline oil	22.5
	Lacer buk wax	6.5
		7.5
25	Castor oil	5
	Paraffin oil	24
	Petrolatum	4.67
	Magnesium carbonate	1.03
	Pigment, antioxidant	3.8
30	Emollient	

\*See Example 2.

## CLAIMS:

1. A cosmetic composition for topical application to the skin or hair, which comprises:
  - (i) from 0.1 to 20% by weight of a cholanic acid derivative chosen from an hydroxycholanic acid, an amino acid condensation product with an hydroxy cholanic acid, a salt thereof, or mixtures thereof; and
  - (ii) from 5 to 99.9% by weight of a powder absorbent, the absorbent having the ability to absorb at least twice its own weight of oil and/or at least its own weight of moisture.
2. A cosmetic composition according to claim 1, in which the cholanic acid derivative forms from 1 to 10% by weight of the composition.
3. A cosmetic composition according to claim 1 or 2, in which the cholanic acid derivative forms from 2 to 8% by weight of the composition.
4. A cosmetic composition according to claim 1,2 or 3, in which the hydroxycholanic acid is chosen from lithocholic acid, desoxycholic acid, cholic acid or mixtures thereof.
5. A cosmetic composition according to claim 1,2 or 3, in which the condensation product is chosen from glycocholic acid, taurocholic acid or mixtures thereof.
6. A cosmetic composition according to any preceding claim, in which the salt is an alkali metal salt.
7. A cosmetic composition according to claim 6, in which the salt is the sodium salt.

HCELLM



8. A cosmetic composition according to any preceding claim, in which the salt is sodium desoxycholate.
9. A cosmetic composition according to any preceding claim, in which the powder absorbent forms from 10 to 80% by weight of the composition.
10. A cosmetic composition according to any preceding claim, in which the powder absorbent is chosen from of rigid urea-formaldehyde foam, silica aerogel, magnesium carbonate, magnesium oxide, kieselguhr, kaolin, talc, rice starch, titanium oxide, zinc oxide and mixtures thereof.
11. A cosmetic composition according to any of claims 1 to 9, in which the powder absorbent is a smectite.
12. A cosmetic composition according to any of claims 1 to 9, in which the powder absorbent is a dry protein powder.
13. A cosmetic composition according to any of claims 1 to 9, in which the powder absorbent is an anionic polyelectrolyte.
14. A cosmetic composition according to claim 13, in which the anionic polyelectrolyte is chosen from cross-linked etherified starch, cross-linked sodium carboxymethyl cellulose, internally esterified polyelectrolyte, starchacrylonitrile graft copolymer, polyacrylate cross-linked with polyamide/epichlorhydrin, potassium salt of a polyacrylic acid cross-linked by aluminium ions and mixtures thereof.
15. A cosmetic composition according to any preceding claim, further comprising up to 94.9% water.

16. A cosmetic composition according to any preceding claim, further comprising from 10 to 90% by weight of water.

17. A process for the preparation of a cosmetic composition according to any preceding claim, which comprises the steps of blending a cholanic acid derivative with a powder absorbent.